2003-769369/73 A60 B03 C02 D22 E13 F09 G02 H08 (C03 D18 E14 F06 G03 G04) **FARB 2002.02.19**

BAYER CROPSCIENCE AG *DE 10215292-A1

2002.02.19 2002-1006794(+2002DE-1006794) *(2003.08.28)* C07D 231/14, A01N 43/48, C07C 211/52

New N-biphenylyl-1-methyl-3-(di- or trifluoromethyl)-1H-pyrazole-4-carboxamides, useful as microbicides, especially fungicides and bactericides for protection of plants or materials such as wood

C2003-211497

Addnl. Data: DUNKEL R, RIECK H, ELBE H, WACHENDORFF-NEUMANN U, KUCK K

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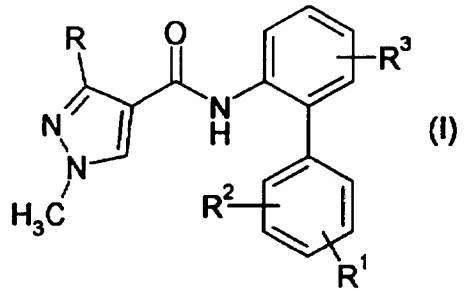
A(8-M2) B(7-D8, 10-A10, 10-A15, 10-B2A, 10-B2F, 10-B3A, 10-B4A, 14-A1, 14-A2, 14-A4, 14-A5, 14-B1) C(7-D8, 10-A10, 10-A15, 10-B2A, 10-B2F, 10-B3A, 10-B4A, 14-A1, 14-A2, 14-A4, 14-A5, 14-A6, 14-B1, 14-U1, 14-V1, 14-V2) D(7-B, 9-A1C) E(7-D8, 10-B3A3, 10-B4A1, 11-F7) F(3-C2B, 5-A6D) G(2-A1, 2-A2, 2-A3B, 3-B1, 4-B1) H(8-E) .11

NOVELTY

N-(Fluoro-1,1'-biphenyl-2-yl)-1-methyl-3-(di- or trifluoromethyl)-1H-pyrazole-4-carboxamides (I) are new.

DETAILED DESCRIPTION

Pyrazole derivatives of formula (I) are new.



(I)

R = CHF_2 or CF_3;

R^1, R^2 = halo, CN, NO_2, 1-6C alkyl, 2-6C alkenyl, 1-4C alkoxy, 1-4C alkylthio, 1-4C alkylsulfonyl, 3-6C cycloalkyl, T', OT', ST' or SO_2T';

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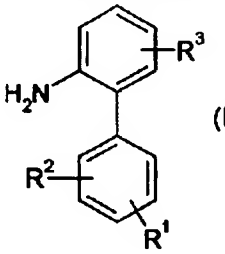
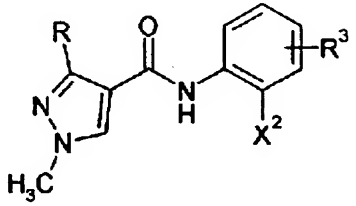
T' = 1-4C haloalkyl, containing 1-5 halo atoms; and
R^3 = F.
INDEPENDENT CLAIMS are included for:
(1) the preparation of (I); and
(2) new aniline and halo-carboxanilide intermediates of formulae (II) and (III) respectively.



(II)



(III)

X^2 = Br or I.

ACTIVITY

Fungicide; Antibacterial; Algicide; Virucide; Pesticide; Herbicide; Plant Growth Regulator. N-(3',4'-Dichloro-3-fluoro-1,1'-biphenyl-2-yl)-1-methyl-3-(trifluoromethyl)-1H-pyrazole-4-carboxamide (Ia) at an application rate of 100 g/ha gave 100% protection of young apple trees against *Podosphaera leuchotricha*.

MECHANISM OF ACTION

None given in the source material.

USE

(I) are microbicides (claimed), specifically fungicides and bactericides for use in the protection of plants and materials, especially for protecting plants against infections by bacteria and fungi such as *Xanthomonas*, *Pseudomonas*, *Erwinia*, *Pythium*, *Phytophthora*, *Pseudoperonospora*, *Plasmopara*, *Bremia*, *Peronospora*, *Erysiphe*, *Sphaerotheca*, *Podosphaera*, *Venturia*, *Pyrenophora*, *Cochliobolus*, *Uromyces*, *Puccinia*, *Sclerotinia*, *Tilletia*, *Ustilago*, *Pellicularia*, *Pyricularia*, *Fusarium*, *Botrytis*, *Septoria*, *Leptosphaeria*, *Cercospora*, *Alternaria* or *Pseudocercosporella*. (I) are also useful for strengthening plants, i.e. mobilizing the intrinsic defense mechanisms of plants against microorganisms such as fungi, bacteria and viruses; for controlling microorganisms (e.g. bacteria, fungi, yeasts, algae and slime organisms) which damage inanimate materials such as adhesives, glues, paper, cardboard, textiles, leather, paints, plastics,

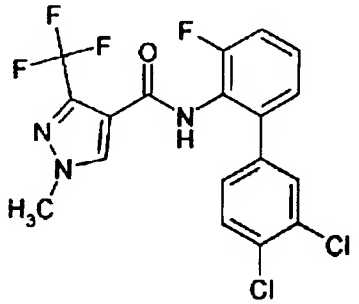
cold lubricants, circulating cooling water, heat transfer fluids or especially wood (e.g. for controlling wood discoloring and wood rotting *Basidiomycetes* fungi); or as broad-spectrum antimycotic agents, effective e.g. against *Candida albicans*, *Epidermophyton floccosum*, *Aspergillus niger*, *Trichophyton mentagrophytes* and *Microsporon canis*. (I) are additionally useful as intermediates or precursors for other active agents; and may show herbicidal or plant growth regulating activity or activity against animal pests at certain concentrations and application rates.

ADVANTAGE

(I) have strong microbicidal activity (specifically stronger fungicidal activity than related compounds, e.g. as described in EP545099) and are well tolerated by plants.

SPECIFIC COMPOUNDS

12 Compounds (I) are disclosed, e.g. N-(3',4'-dichloro-3-fluoro-1,1'-biphenyl-2-yl)-1-methyl-3-(trifluoromethyl)-1H-pyrazole-4-carboxamide (Ia).



(Ia)

ADMINISTRATION

As plant fungicides (I) are applied to foliage at 0.1-10000 (preferably 10-1000) g/ha, to seeds at 0.001-50 (preferably 0.01-10) g/kg or to soil at 0.1-10000 (preferably 1-5000) g/ha.

EXAMPLE

A solution of 3',4'-dichloro-3-fluoro-1,1'-biphenyl-2-amine

(0.333 g) and 1-methyl-3-(trifluoromethyl)-1H-pyrazole-4-carbonyl chloride (0.33 g) in tetrahydrofuran (6 ml) was treated with triethylamine (0.36 ml), stirred at 60°C for 3 hours and concentrated. Purification by silica gel chromatography purification gave N-(3',4'-dichloro-3-fluoro-1,1'-biphenyl-2-yl)-1-methyl-3-(trifluoromethyl)-1H-pyrazole-4-carboxamide (Ia), (0.39 g, 72%).

DEFINITIONS

Preferred Definitions:

R = CHF_2 or CF_3; and

R^1, R^2 = F, Cl, Br, Me, CF_3, $OCHF_2$ or OCF_3.

The fluoro substituent in the phenyl ring is in the 3- or 5-position relative to the phenyl substituent.

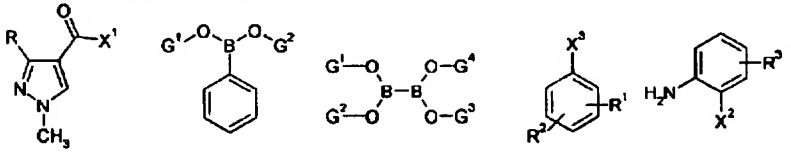
TECHNOLOGY FOCUS

Organic Chemistry - Preparation: Claimed preparation of (I) involves:

(a) reacting an acid halide of formula (IV) with (II), optionally in presence of an acid binder and/or a diluent;

(b) reacting (IV) with a boronic acid derivative of formula (V) in presence of a catalyst and optionally an acid binder and/or a diluent; or

(c) reacting (III) with a diborane derivative of formula (VI) in presence of a catalyst and optionally an acid binder and/or a diluent, then (without work-up) reacting the product with a halobenzene of formula (VII) in presence of a catalyst and optionally an acid binder and/or a diluent.



(IV) (V) (VI) (VII) (VIII)

X^1 = halo;

G^1, G^2 = H; or together form tetramethyl-ethylene;

G^3, G^4 = alkyl; or together form alkanediyl; and

X^3 = Br, I or OSO_2CF_3.

Starting Materials: (III) are prepared by reacting (V) with fluoro-haloanilines of formula (VIII) in presence of a catalyst and optionally an acid binder and/or a diluent. (IV) are prepared by reacting (II) with (VIII), optionally in presence of an acid binder and/or diluent.

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